PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Artcle 36 and Rule 70)

Applicant's or agent's file reference PC04019-LG	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. **PCT/KR2004/002705**	International filing date *(day/month/year)* **22 OCTOBER 2004 (22.10.2004)**	Priority date *(day/month/year)* 29 OCTOBER 2003 (29.10.2003)

International Patent Classification (IPC) or national classification and IPC

C07D 471/04(2006.01)i

Applicant

LG LIFE SCIENCES LTD. et al

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 4 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
   a. ☐ (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:
      ☐ sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
      ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
   b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in electronic form only, as indicated in the Supplemental Box relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:
   - ☒ Box No. I Basis of the report
   - ☐ Box No. II Priority
   - ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - ☐ Box No. IV Lack of unity of invention
   - ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - ☐ Box No. VI Certain documents cited
   - ☐ Box No. VII Certain defects in the international application
   - ☐ Box No. VIII Certain observations on the international application

Date of submission of the demamd	Date of completion of this report
18 MAY 2005 (18.05.2005)	06 FEBRUARY 2006 (06.02.2006)

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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/KR2004/002705

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on translations from the original language into the following language _____, which is the language of a translation furnished for the purposes of:

- ☐ international search (under Rules 12.3 and 23.1(b))
- ☐ publication of the international application (under Rule 12.4)
- ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the **elements** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this reort as "originally filed" and are not annexed to this report):*

☒ the international application as originally filed/furnished

☐ the description:
pages _____ as originally filed/furnished
pages* _____ received by this Authority on _____
pages* _____ received by this Authority on _____

☐ the claims:
pages _____ as originally filed/furnished
pages* _____ as amended (together with any statment) under Article 19
pages* _____ received by this Authority on _____
pages* _____ received by this Authority on _____

☐ the drawings:
pages _____ as originally filed/furnished
pages* _____ received by this Authority on _____
pages* _____ received by this Authority on _____

☐ the sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets _____
- ☐ the sequence listing *(specify)* : _____
- ☐ any table(s) related to sequence listing *(specify)* : _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets _____
- ☐ the sequence listing *(specify)*: _____
- ☐ any table(s) related to sequence listing *(specify)* : _____

* *If item 4 applies, some or all of those sheets may be marked "superseded."*

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/KR2004/002705

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-10	YES
	Claims		NO
Inventive step (IS)	Claims	1-10	YES
	Claims		NO
Industrial applicability (IA)	Claims	1-10	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

The following documents have been considered for the purpose of this report; the numbering will be adhered to in the rest of the procedure:

D1: JP P2002-155081 A (28.05.2002)
D2: J. Heterocyclic Chem. Vol.25, pp.479-486(1988)
D3: J. Heterocyclic Chem. Vol.24, pp.215-217(1987)
D4: J. Med. Chem. Vol.27, pp.1543-1548(1984)
D5: J. Med. Chem. Vol.27, pp.292-301(1984)

1. Novelty

Claims 1-10 of the present invention are novel over D1-D5, since none of these prior arts discloses a compound represented by formula II as an intermediate for preparing 1,8-naphthyridine-3-carboxylic acid derivatives [Article 33(2) PCT].

2. Inventive Step

The present invention relates to a method for preparing 1,8-naphthyridine-3-carboxylic acid derivatives, characterized by one-pot operation using a single solvent system without isolation of any intermediates during the whole process. Similar one-pot process was disclosed in D1. Therefore, D1 seems to be the closest prior art to the present invention.

D1 discloses an procedure for preparing 1,8-naphthyridine-3-carboxylic acid derivatives comprising the following steps of 1) conversion of the staring compound of formula I to carbonyl-alkoxy acrylic acid ester, 2) reaction with amine to produing enamine, and 3) cyclization to prepare the final product of naphthyridine ester. The latter two steps are common in D1 and the present invention. However, the intermediate compounds produced in the first step are different from each other when comparing the compound of formula II in the present invention with that of D1. In the process of the claims 1 - 10, dimethylformamide dialkylacetal instead of trialkyl orthoformate is used as a reactant for producing the intermediate of formula II, which does not need to be removed during the process.

(Continued on the Supplemental Sheet.)

Supplemental Box

In case the space in any of the preceding boxes is not sufficient.
Continuation of:

Box V.

There is no implication or suggestion in D1 to lead those who skilled in the art to expect that the reactant dimethylformamide dialkylacetal and the intermediate of formula II could be chosen to prepare 1,8-naphthyridine-3-carboxylic acid derivative.

Therefore, the inventive step of claims 1-10 can be acknolwdged [Article 33(3) PCT].

3. Industrial Applicability

The subject-matter of claims 1-10 appears to be industrially applicable [Article 33(4) PCT].